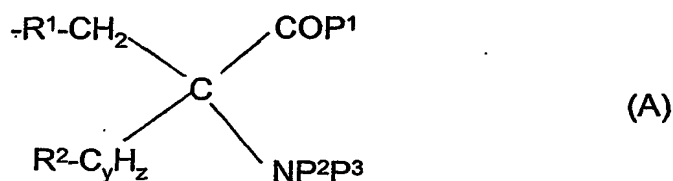


Claims

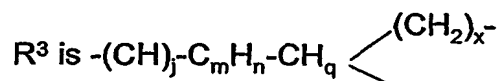
1. A process for the production of an ^{18}F -labelled tracer which comprises treatment of a solid support-bound precursor of formula (I):



wherein the TRACER is of formula (A):

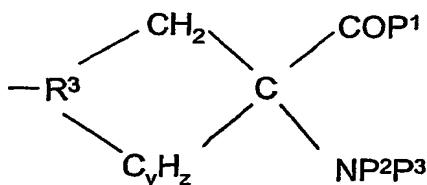


10 wherein P¹ is hydroxy or a protecting group, P² and P³ are independently hydrogen or a protecting group, R¹ is a bond, -CH=CH-, or together with R² forms R³;



R² is hydrogen or together with R¹ forms R³;

15 such that



is formed

wherein x is 0 or 1;

y is 1 or 2;

20 z is 1, 2, 3, or 4 and z > y if y is 2;

q is 1 or 0 if n is 1 and j is 0;

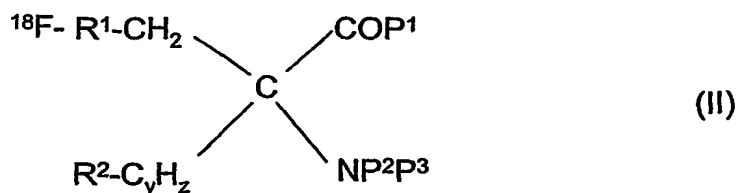
n is 1 or 2, but 0 if m is 0;

m is 0 or 1; and

j is 0 or 1;

25

with $^{18}\text{F}^-$ to produce the labelled tracer of formula (II)



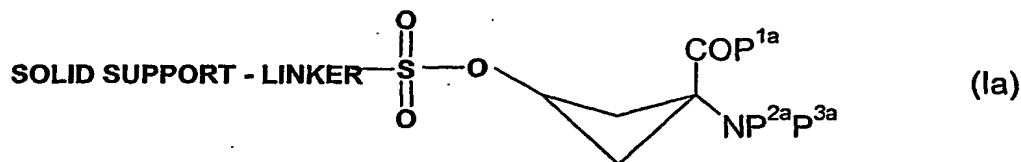
wherein R^1 , R^2 , y , z , P^1 , P^2 and P^3 are as defined for the compound of formula (I), optionally followed by

- (i) removal of excess $^{18}\text{F}^-$ for example by ion-exchange chromatography; and/or
- (ii) removal of any protecting groups; and/or
- (iii) removal of organic solvent; and/or
- (iv) formulation of the resultant compound of formula (II) as an aqueous solution

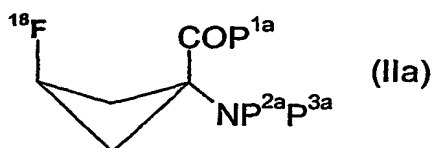
2. A process for the production of an ^{18}F -labelled tracer according to claim 1 wherein R^1 and R^2 form the group R^3 .

3. A process for the production of an ^{18}F -labelled tracer according to claim 1 or 2 wherein R^1 and R^2 form the group R^3 and x is 0, y is 1, z is 2, q is 1, m is 0 and j is 0.

4. A process according to any one of claims 1 to 3 for the production of [^{18}F]-1-amino-3-fluorocyclobutane-1-carboxylic acid ([^{18}F]-FACBC) which comprises treatment of a solid support-bound precursor of formula (Ia):



wherein P^{2a} and P^{3a} are each independently hydrogen or a protecting group, and P^{1a} is hydroxyl or a carboxylic acid protecting group; with $^{18}\text{F}^-$ to produce the labelled tracer of formula (IIa)



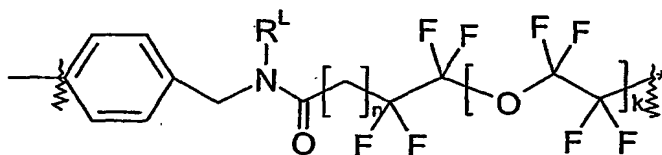
wherein P^{1a} , P^{2a} , and P^{3a} are each as defined in Formula (Ia);

5 optionally followed by

- (i) removal of excess $^{18}\text{F}^-$, for example by ion-exchange chromatography; and/or
- (ii) removal of the protecting groups; and/or
- (iii) removal of organic solvent; and/or
- (iv) formulation of the resultant compound of formula (IIa) as an aqueous solution.

10

5. A process according to claim 4 wherein the LINKER in the compound of formula (Ia) is



wherein k is an integer of 0 to 3, n is an integer of 1 to 16, and R^L is hydrogen or
15 C_{1-6} alkyl.

6. A process according to claim 4 or 5 in which P^{1a} is C_{1-6} alkoxy, P^{2a} is hydrogen or C_{1-6} alkoxycarbonyl, and P^{3a} is C_{1-6} alkoxycarbonyl.

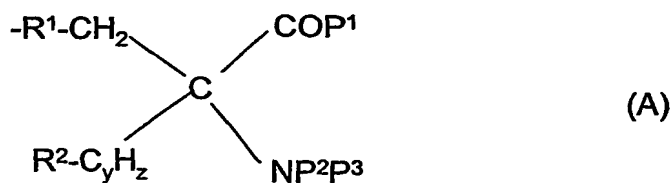
20 7. A process for the production of a ^{18}F -labelled tracer of formula (II) or (IIa), according to any one of claims 1 to 6, for use in PET.

8. A compound of formula (I)



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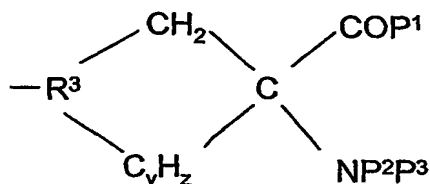
wherein the TRACER is of formula (A):



wherein P^1 is hydroxy or a protecting group, P^2 and P^3 are independently hydrogen or a protecting group, R^1 is a bond, $-\text{CH}=\text{CH}-$, or together with R^2 forms R^3 ;

5 R^3 is $-(\text{CH})_j\text{-C}_m\text{H}_n\text{-CH}_q$ $\begin{array}{l} \diagup (\text{CH}_2)_x \\ \diagdown \end{array}$
 R^2 is hydrogen or together with R^1 forms R^3 ;

such that

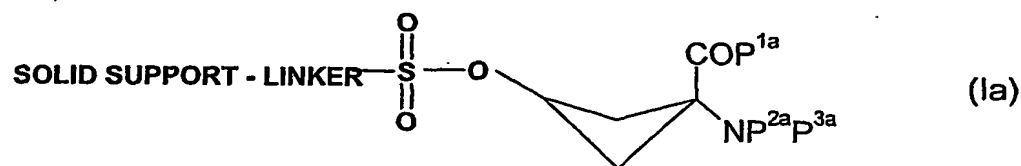


10 is formed

wherein x is 0 or 1;
 y is 1 or 2;
 z is 1, 2, 3, or 4 and $z > y$ if y is 2;
 q is 1 or 0 if n is 1 and j is 0;
 15 n is 1 or 2, but 0 if m is 0;
 m is 0 or 1; and
 j is 0 or 1.

9. A compound of formula (Ia):

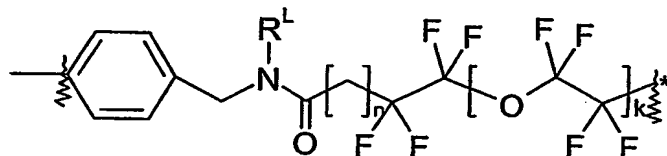
20



wherein P^{2a} and P^{3a} are each independently hydrogen or a protecting group, and

P^{1a} is hydroxyl or a protecting group.

10. A compound according to claim 8 or 9 in which the LINKER is



5 wherein k is an integer of 0 to 3, n is an integer of 1 to 16, and R^L is hydrogen or C₁₋₆ alkyl.

11. A compound according to any one of claims 8 to 10 in which P^{1a} is C₁₋₆alkoxy, P^{2a} is hydrogen or C₁₋₆alkoxycarbonyl, and P^{3a} is C₁₋₆alkoxycarbonyl.

10

12. A radiopharmaceutical kit for the preparation of an ¹⁸F-labelled tracer for use in PET, which comprises:

(i) a vessel containing a compound of formula (I) or (Ia) as defined in any one of claims 1 to 6; and

15 (ii) means for eluting the vessel with a source of ¹⁸F⁻;

(iii) an ion-exchange cartridge for removal of excess ¹⁸F⁻; and optionally

(iv) a cartridge for solid-phase deprotection of the resultant product of formula (II) or (IIa) as defined in any one of claims 1 to 6.

20 13. A cartridge for a radiopharmaceutical kit for the preparation of an ¹⁸F-labelled tracer for use in PET which comprises:

(i) a vessel containing a compound of formula (I) or (Ia) as defined in any one of claims 1 to 6; and

(ii) means for eluting the vessel with a source of ¹⁸F⁻.

25

14. A method for obtaining a diagnostic PET image which comprises the step of using a radiopharmaceutical kit according to claim 12 or a cartridge for a radiopharmaceutical kit according to claim 13.